L20 ANSWER 5 OF 59 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2000:841901 CAPLUS

DOCUMENT NUMBER: 133:362968

TITLE: Synthesis of heterocyclic derivs. of

N-(phenylcyclohexylcarbonyl)phenylglycine amide for

treatment of cerebral ischemia or injury

INVENTOR(S): Freund, Wolf-Dietrich; Lensky, Stephan; Muller,

Stephan Nicholas; Paulsen, Holger; Keldenich, Jorg;

Horvath, Ervin; Schuhmacher, Joachim

PATENT ASSIGNEE(S): Bayer A.-G., Germany SOURCE: Ger. Offen., 30 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

GI

Patent German

LANGUAGE: Ge FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	NO.		DATE			PLICAT			DATE			
	24818		200011	L30					1999	0529		
	0073275											
W:	AE, AG,	AL, AM	, AT, A	AU, AZ,	BA, E	BB, BG	BR,	BY,	CA,	CH,	CN,	CR,
	CU, CZ,	DE, DK	, DM, D	DZ, EE,	ES, E	FI, GB	GD,	GE,	GH,	GM,	HR,	HU,
	ID, IL,	IN, IS	, JP, K	ΚΕ, KG,	KP, F	KR, KZ,	LC,	LK,	LR,	LS,	LT,	LU,
		MD, MG										
	SG, SI,	SK, SL	, TJ, T	M, TR,	TT, T	ΓΖ, UA,	UG,	US,	UΣ,	VN,	YU,	ZA,
		AZ, BY										
RW:	GH, GM,											
		FI, FR							SE,	BF,	ΒJ,	CF,
		CM, GA										
	0011061											
	516											
R:	AT, BE,	CH, DE	, DK, E	S, FR,	GB, G	SR, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		LT, LV	•									
NO 2001	1005810	Α	200201	.25	NO	2001-5	810		20011	128		
PRIORITY APE	PLN. INFO	.:]	DE 199	9-1992	4818	Α	19990	529		
				1	WO 200	0-EP44	117	W	20000	516		
OTHER SOURCE	E(S):	MA	RPAT 13	3:3629	68							

$$x^1$$
 N CH_2 N X CO NH CO NH_2 CO NH_2

AB Title compds., e.g. I, were prepd. for use in treating ischemic brain diseases in humans or animals. Thus I [X = N, X1 = O (II)] was prepd. in

Examiner Anderson 703-605-1157

six steps, starting from 2,3-diaminopyridine, glycolic acid, (1R,2R)-2-(4-bromomethylphenyl) cyclohexane-1-carboxylic acid tert-Bu ester (prepn. given), and (S)-phenylglycinamide hydrochloride. Similarly prepd. was I [X = C, X1 = N(Me) (III)]. In in vivo (binding of calf cortex adenosine transport protein) compds. II and III had Ki = 2 nM. In in vitro tests of rat brain reperfusion injury, II and III were effective at 0.001 mg/kg, reducing infarct vol. 81-91% of control.

IT 307931-44-8P 307931-45-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)pheny lglycine amide for treatment of cerebral ischemia or injury)

RN 307931-44-8 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, 1,1-dimethylethyl ester, (1R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 307931-45-9 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, (1R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 307931-46-0P 307931-47-1P 307931-62-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)pheny lglycine amide for treatment of cerebral ischemia or injury)

RN 307931-46-0 CAPLUS

CN Benzeneacetamide, .alpha.~[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 307931-47-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

RN 307931-62-0 CAPLUS

CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(2-hydroxyethoxy)methyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L20 ANSWER 42 OF 59 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:94905 CAPLUS
DOCUMENT NUMBER: 122:56057
TITLE: [(Imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanecarb
oxylates as angiotensin antagonists

Mueller, Ulrich; Dressel, Juergen; Fey, Peter; Hanko,

INVENTOR(S): Mueller, Ulrich; Dressel, Juergen; Fey, F Rudolf; Huebsch, Walter; Kraemer, Thomas;

Mueller-Gliemann, Matthias; Beuck, Martin; Kazda,

Stanislav; et al.
Bayer A.-G., Germany
Ger. Offen., 29 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

SOURCE:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4304455	A1	19940818	DE 1993-4304455	19930215
AU 9454807	A1	19940818	AU 1994-54807	19940131
AU 672262	B2	19960926		
EP 611767	Al	19940824	EP 1994 101543	19940202
EP 611767	B1	20000906		
R: AT, BE, C	CH, DE	, DK, ES, FR	, GB, GR, IE, IT, LI	, LU, MC, NL, PT, SE
AT 196141	E	20000915	AT 1994-101543	19940202
ES 2151908	Т3	20010116	ES 1994-101543	19940202
US 5395840	Α	19950307	US 1994-193835	19940208
CA 2115536	AA	19940816	CA 1994-2115536	19940211
FI 9400659	Α	19940816	FI 1994-659	19940211
IL 108625	A 1	19970930	IL 1994-108625	19940211
PT. 177834	В1	20000131	PL 1994-302213	19940211
NO 9400506	A	19940816	NO 1994-506	19940214
ZA 9400984	Α	19940824	ZA 1994-984	19940214
JP 06293741		19941021	JP 1994-37543	19940214
RU 2119480		19980927	RU 1994-4975	19940214
CN 1108257	A	19950913	CN 1994-101553	19940215
		20001004		
CZ 289096			CZ 1994-329	19940215
PRIORITY APPLN. INFO.	:		DE 1993-4304455 A	

OTHER SOURCE(S): MARPAT 122:56057
GI For diagram(s), see printed CA Issue.

The title compds., [(imidazolylmethyl)phenyl]cyclohexanecarboxylate derivs. and [(pyrrolylmethyl)phenyl]cyclohexanecarboxylate derivs. I (A = H, aryl, etc.; B, D = substituent; BD = fused ring fragment; E = nitrogen, methine; L = H, halo, nitro, etc.; T = carboxy or amide function) were disclosed as agents for the treatment of arterial hypertonia and atherosclerosis. I are antihypertensives (angiotensin II antagonists). An example compd., the [(imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanec arboxylate II was prepd.

IT 158098-06-7P 158098-07-8P 158098-19-2P

158098-20-5P 158098-21-6P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of [[(imidazopyridinyl)methyl]phenyl]cyclohexanecarboxylates as
angiotensin antagonists)

RN 158098-06-7 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 158098-07-8 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3Himidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester,
trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 158098-19-2 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

RN 158098-20-5 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 158098-21-6 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CAINDEX NAME)

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IT
     158098-09-0P 158098-12-5P 158098-13-6P
     158098-15-8P 158098-16-9P 158098-17-0P
     158098-22-7P 158098-23-8P 158098-24-9P
     158098-25-0P 158098-26-1P 158098-28-3P
     158098-29-4P 158098-30-7P 158189-62-9P
     158189-63-0P 158189-64-1P 158189-65-2P
     158189-67-4P 158189-68-5P 159992-82-2P
     159992-83-3P 159992-84-4P 160227-10-1P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (prepn. of [[(imidazopyridinyl)methyl]phenyl]cyclohexanecarboxylates as
        angiotensin antagonists)
RN
     158098-09-0 CAPLUS
CN
     Cyclohexanecarboxylic acid, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-
     3-yl)methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)
```

Relative stereochemistry.

RN 158098-12-5 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 158098-13-6 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 158098-15-8 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Examiner Anderson 703-605-1157

RN 158098-16-9 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 158098-17-0 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158098-22-7 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]-, [1.alpha.(R*),2.beta.]-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 158098-23-8 CAPLUS

Cyclohexanecarboxamide, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158098-24-9 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, [1S-[1.alpha.(S*),2.beta.]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158098-25-0 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[1-(2-fluorophenyl)-2-hydroxyethyl]-,
[1.alpha.(R*),2.beta.]- (9CI) (CA INDEX NAME)

RN 158098-26-1 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158098-28-3 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158098-29-4 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(phenyl-2-pyridinylmethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158098-30-7 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 158189-62-9 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]-, [1.alpha.(S*),2.beta.]-(9CI) (CA INDEX NAME)

RN 158189-63-0 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158189-64-1 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, [1R-[1.alpha.(R*),2.beta.]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158189-65-2 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-

[1.alpha.(R*), 2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158189-67-4 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158189-68-5 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(phenyl-2-pyridinylmethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Examiner Anderson 703-605-1157

RN 159992-82-2 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159992-83-3 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159992-84-4 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 160227-10-1 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[1-(2-fluorophenyl)-2-hydroxyethyl]-, [1.alpha.(S*),2.beta.]- (9CI) (CA INDEX NAME)

L20 ANSWER 37 OF 59 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:567333 CAPLUS

DOCUMENT NUMBER: 125:221843

TITLE: Preparation of benzylimidazole derivatives for the

treatment of vascular restenosis

INVENTOR(S): Mueller-Gliemann, Matthias; Mueller, Ulrich; Beuck,

Martin; Zaiss, Siegfried; Gerdes, Christoph;

Domdey-Bette, Anke; Gruetzmann, Rudi; Lohmer, Stefan;

Wohlfeil, Stefan; et al.

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT NO.		KIN	D DA	TE			API	PLIC	ATIO	ом и	ο.	DATE				
EP	725064																
	R: AT,														ΝL,	PT,	SĖ
DE				19	96080	8		DE	199	5-19	9503	160	1995	0201			
					01080	1		TW	199	6-85	5100	684	1996	0122			
RO	117256		В1	20	01122	8		RO	199	6-15	52		1996	0126			
CA	2168317		AA	. 19	96080	2		CA	199	6-23	L683	17	1996	0129			
JP	08253453		A2	19	96100	1		JP	199	6-33	3174		1996	0129			
ΙL	116931		A 1	20	00060	1		$_{ m IL}$	199	6-11	L693	1	1996	0129			
FI	9600425		Α	19	96080	2		FI	199	6-42	25		1996	0130			
AU	9642240		A1	19	96080	8		AU	199	6-42	2240		1996	0130			
AU	710235		B2	19	99091	6											
NO	9600414		Α	19	96080	2		NO	199	6-41	. 4		1996	0131			
ZA	9600725		Α	19	96082	0		ZA	199	6-72	25		1996	0131			
RU	2158261		C2	20	00102	7		RU	199	6-10	180	0	1996	0131			
CN	1137380		Α	19	96121	1		CN	1990	6-10	257	4	1996	0201			
US	5935983		Α		99081			US	199	7-96	5007	5	1997	1024			
RIORITY	APPLN. I	NFO.:	;				DE	199	5-19	9503	3160	Α	1995	0201			
													1996				

OTHER SOURCE(S): MARPAT 125:221843

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AB The title compds. [I; D = CH, N; R1 = Ph, cycloalkyl, (un)branched alkyl; R2 = (un)branched alkoxycarbonyl, CH2OH, CONH2], useful for the treatment of vascular restenosis, are prepd. Thus, I (D = N, R1 = CHMe2, R2 = CONH2; * * cyclohexyl ring bonding is trans) was prepd. and demonstrated a IC50 of 0.01 nM for the inhibition of rat aorta smooth muscle proliferation.

RN 181130-31-4 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 181130-33-6 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 181130-39-2 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 181130-40-5 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 181231-29-8 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 181231-31-2 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09980243 Page 1 09/27/2002

L29 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2002 ACS 1996:567333 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

125:221843

TITLE:

Preparation of benzylimidazole derivatives for the

treatment of vascular restenosis

INVENTOR(S):

Mueller-Gliemann, Matthias; Mueller, Ulrich; Beuck,

Martin; Zaiss, Siegfried; Gerdes, Christoph;

Domdey-Bette, Anke; Gruetzmann, Rudi; Lohmer, Stefan;

Wohlfeil, Stefan; et al.

PATENT ASSIGNEE(S):

SOURCE:

Bayer A.-G., Germany Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.			DATE	APPLICATION NO.	DATE			
EP	725064	A1		EP 1996-100760 GB, GR, IE, IT, LI		NL,	PT,	SE
DE	19503160			DE 1995-19503160		·	•	
TW	448176	В		TW 1996-85100684				
RO	117256	B1	20011228	RO 1996-152	19960126			
CA	2168317	AA	19960802	CA 1996-2168317	19960129			
JP	08253453	A2	19961001	JP 1996-33174	19960129			
IL	116931	A1	20000601	IL 1996-116931	19960129			
FI	9600425	Α	19960802	FI 1996-425	19960130			
AU	9642240	A1	19960808	AU 1996-42240	19960130			
AU	710235	В2	19990916					
ИО	9600414	А	19960802	NO 1996-414	19960131			
ZA	9600725	А	19960820	ZA 1996-725	19960131			
RU	2158261	C2	20001027	RU 1996-101800	19960131			
CN	1137380	Α	19961211	CN 1996-102574	19960201			
US	5935983	Α	19990810	us 1997-960075	19971024			
PRIORIT	Y APPLN. INE	°O.:		DE 1995-19503160 A US 1996-588477 B1				

OTHER SOURCE(S):

MARPAT 125:221843

GΙ

Ι

AB The title compds. [I; D = CH, N; R1 = Ph, cycloalkyl, (un)branched alkyl; R2 = (un)branched alkoxycarbonyl, CH2OH, CONH2], useful for the treatment of vascular restenosis, are prepd. Thus, I (D = N, R1 = CHMe2, R2 = CONH2; * * cyclohexyl ring bonding is trans) was prepd. and demonstrated a IC50 of 0.01 nM for the inhibition of rat aorta smooth muscle proliferation.

IT 181130-30-3P 181130-32-5P 181130-34-7P 181130-35-8P 181130-36-9P 181130-37-0P 181130-38-1P 181130-41-6P 181130-42-7P 181231-28-7P 181231-30-1P 181231-32-3P 181231-33-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzylimidazole derivs. for the treatment of vascular restenosis)

RN 181130-30-3 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 181130-32-5 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Examiner Anderson 703-605-1157

RN 181130-34-7 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-1H-benzimidazol-1-yl)methyl]phenyl]N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 181130-35-8 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-1H-benzimidazol-1-yl)methyl]phenyl]-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 181130-36-9 CAPLUS

CN Benzeneacetic acid, .alpha.-[[[2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 181130-37-0 CAPLUS

CN Benzeneacetamide, .alpha.-[[{2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 181130-38-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-cyclopropyl-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 181130-41-6 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-ethyl-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 181130-42-7 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-phenyl-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 181231-28-7 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-1H-benzimidazol-1-yl)methyl]phenyl}-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 181231-30-1 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 181231-32-3 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-1H-benzimidazol-1-yl)methyl]phenyl]N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

Examiner Anderson 703-605-1157

RN 181231-33-4 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-1H-benzimidazol-1-yl)methyl]phenyl]-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 181130-43-8P 181130-44-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzylimidazole derivs. for the treatment of vascular restenosis)

RN 181130-43-8 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

181130-44-9 CAPLUS RN

CN Cyclohexanecarboxylic acid, 2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1yl]methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L29 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:94905 CAPLUS

DOCUMENT NUMBER: 122:56057

TITLE: [(Imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanecarb

oxylates as angiotensin antagonists

INVENTOR(S): Mueller, Ulrich; Dressel, Juergen; Fey, Peter; Hanko,

Rudolf; Huebsch, Walter; Kraemer, Thomas;

Mueller-Gliemann, Matthias; Beuck, Martin; Kazda,

Stanislav; et al.

Bayer A.-G., Germany Ger. Offen., 29 pp. PATENT ASSIGNEE(S): SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA.	TENT NO.	KINI	DATE	APPLICATION NO.	DATE
DE	4304455	A1	19940818	DE 1993-4304455	19930215
AU	9454807	A1	19940818	AU 1994-54807	19940131
AU	672262	B2	19960926		
EP	611767	A1	19940824	EP 1994-101543	19940202
EΡ	611767	B1	20000906		
	R: AT,	BE, CH, I	DE, DK, ES,	FR, GB, GR, IE, IT, LI,	LU, MC, NL, PT, SE
AΤ	196141	E	20000915	AT 1994-101543	19940202
ES	2151908	Т3	20010116	ES 1994-101543	19940202
US	5395840	А	19950307	US 1994-193835	19940208
CA	2115536	AA	19940816	CA 1994-2115536	19940211
FI	9400659	Α	19940816	FI 1 ° 994-659	19940211
ΙL	108625	A1	19970930	IL 1994-108625	19940211
\mathtt{PL}	177834	В1	20000131	PL 1994-302213	19940211

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NO 9400506
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                            19940816
                                           NO 1994-506
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                                           CN 1994-101553
                                                             19940215
     CN 1057085
                            20001004
                       В
     CZ 289096
                       В6
                            20011114
                                           CZ 1994-329
                                                             19940215
PRIORITY APPLN. INFO.:
                                        DE 1993-4304455 A 19930215
OTHER SOURCE(S):
                         MARPAT 122:56057
GT
     For diagram(s), see printed CA Issue.
AR
     The title compds., [(imidazolylmethyl)phenyl]cyclohexanecarboxylate
     derivs. and [(pyrrolylmethyl)phenyl]cyclohexanecarboxylate derivs. I (A =
     H, aryl, etc.; B, D = substituent; BD = fused ring fragment; E = nitrogen,
     methine; L = H, halo, nitro, etc.; T = carboxy or amide function) were
     disclosed as agents for the treatment of arterial hypertonia and
     atherosclerosis. I are antihypertensives (angiotensin II antagonists).
     An example compd., the [(imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanec
     arboxylate II was prepd.
TΤ
     158098-08-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
     USES (Uses)
        (prepn. of [[(imidazopyridinvl)methvl]phenvl]cvclohexanecarboxvlates as
        angiotensin antagonists)
RN
     158098-08-9 CAPLUS
CN
     Cyclohexanecarboxylic acid, 2-[4-[(2-butyl-1H-benzimidazol-1-
```

yl)methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 158098-27-2 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158189-66-3 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.